Page 1

L12 ANSWER 5 OF 5 ZCAPLUS COPYRIGHT 2009 ACS on STN

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DOCUMENT NUMBER: 139:197329

TITLE: Design, synthesis, and activity of novel cis- and

trans-3,6-disubstituted pyran biomimetics of

3,6-disubstituted piperidine as potential ligands for

the dopamine transporter

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GΙ

AB In our effort to develop novel mols. for the dopamine transporter, we converted our previously designed dopamine transporter specific 3,6-disubstituted piperidine template into corresponding pyran derivs. Cis-Pyran derivative I, like their piperidine counterparts, exhibited greater activity for the dopamine transporter compared to the trans-isomer. Further mol. modifications of the cis derivative led to the development of potent analogs which indicated successful bioisosteric replacement of the piperidine ring by a pyran moiety in these 3,6-disubstituted derivs.

IT <u>585540-92-7P</u> <u>585540-93-8P</u> <u>585540-95-0P</u> 585540-96-1P <u>585540-97-2P</u>

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyran biomimetics of piperidine as potential ligands for the dopamine transporter)

RN 585540-92-7 ZCAPLUS

CN 2H-Pyran-3-amine, 6-(diphenylmethyl)-N-[(4-fluorophenyl)methyl]tetrahydro-, (3R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 585540-93-8 ZCAPLUS

CN 2H-Pyran-3-amine, 6-(diphenylmethyl)-N-[(4-fluorophenyl)methyl]tetrahydro-, (3R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 585540-95-0 ZCAPLUS

CN Benzonitrile, 4-[[[(3R,6R)-6-(diphenylmethyl)tetrahydro-2H-pyran-3-yl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 585540-96-1 ZCAPLUS

CN 2H-Pyran-3-amine, 6-(diphenylmethyl)tetrahydro-N-[(4-methoxyphenyl)methyl]-, (3R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 585540-97-2 ZCAPLUS

CN 2H-Pyran-3-amine, 6-(diphenylmethyl)tetrahydro-N-[(4-nitrophenyl)methyl]-, (3R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

## IT 585540-98-3P 585540-99-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pyran biomimetics of piperidine as potential ligands for the dopamine transporter)

RN 585540-98-3 ZCAPLUS

CN 2H-Pyran-3-amine, 6-(diphenylmethyl)-N-[(4-fluorophenyl)methyl]tetrahydro-, ethanedioate (1:1), (3R,6S)-rel- (CA INDEX NAME)

CM 1

CRN 585540-92-7

CMF C25 H26 F N O

Relative stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

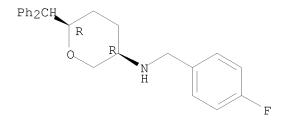
RN 585540-99-4 ZCAPLUS

CN 2H-Pyran-3-amine, 6-(diphenylmethyl)-N-[(4-fluorophenyl)methyl]tetrahydro-, ethanedioate (1:1), (3R,6R)-rel- (CA INDEX NAME)

CM 1

CRN 585540-93-8 CMF C25 H26 F N O

Relative stereochemistry.



CM 2

CRN 144-62-7 CMF C2 H2 O4

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RECORD (14 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT